

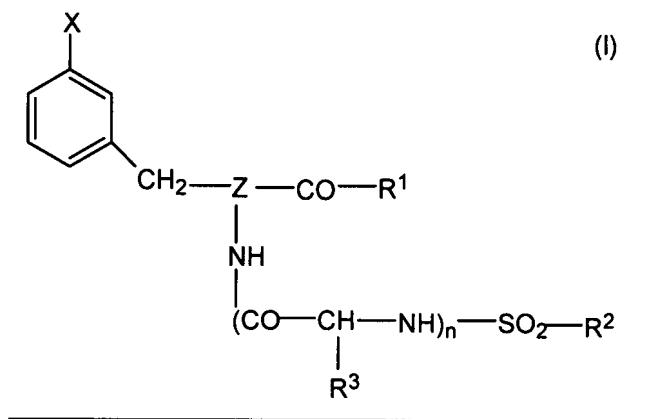
**Amendments to the Claims:**

This listing of claims will replace all prior versions, and listings, of claims in the application:

**Listing of Claims:**

1-27 (Cancelled)

28. (Currently Amended) A pharmaceutical liposomal formulation, ~~characterized in that it~~ wherein the formulation comprises as an active pharmaceutical ingredient a 3-amidino-or 3-guanidino phenylalanine derivative of general formula I which is effective as a urokinase inhibitor,



wherein

X is an amidino or guanidino group,

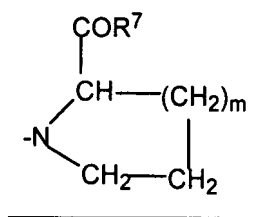
R<sup>1</sup> (a) is OH or OR<sup>4</sup>, wherein R<sup>4</sup> is a branched or unbranched C<sub>1</sub>-C<sub>8</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl or aralkyl, wherein the alkyl, cycloalkyl or aralkyl is unsubstituted or substituted by hydroxyl, carboxyl, sulfonyl, nitro, cyano, oxo or/and halogen;

(b) is a group of the formula  $NR^5R^6$  in which  $R^5$  and  $R^6$  are any radicals

compatible with the overall structure, wherein

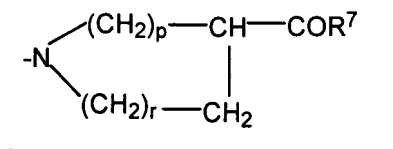
- (i)  $R^5$  and  $R^6$  are H,
- (ii)  $R^5$  is H, and  $R^6$  is a branched or unbranched  $C_1$ - $C_8$ -alkyl,  $C_3$ - $C_8$ -cycloalkyl or aralkyl, wherein the alkyl, cycloalkyl or aralkyl is unsubstituted or substituted by hydroxyl, carboxyl, sulfonyl, nitro, cyano, oxo or/and halogen;
- (iii)  $R^5$  and  $R^6$  are each independently unbranched or branched  $C_1$ - $C_4$  optionally substituted by alkyl hydroxyl or/and halogen,
- (iv)  $R^5$  is H, and  $R^6$  is  $-NH_2$  or an aryl- or heteroaryl- substituted amino group, or
- (v)  $R^5$  is H or an unbranched or branched  $C_1$ - $C_4$  alkyl optionally substituted by hydroxyl or/and halogen, and  $R^6$  is the residue of an amino acid of an  $\alpha$ -,  $\beta$  or  $\omega$ -amino carboxylic acid, amino sulfonic acid, a peptide having a length of up to 50 amino acids, or of a polypeptide having a length of more than 50 amino acids and up to 1000 amino acids,

(c) is a group of the formula



in which m is 1 or 2, and in which at least one of the methylene groups are optionally substituted by a hydroxyl, carboxyl, C<sub>1</sub>-C<sub>4</sub>-alkyl or a benzyl or phenylethyl radical, where the group defined in section (c) is racemic or has the D or L configuration, and R<sup>7</sup> has the meaning of R<sup>1</sup> in sections (a), (b) and (f).

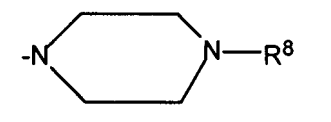
(d) is a group of the formula



in which p = r = 1, p = 1 and r = 2 or p = 2 and r = 1, and in which at least one of the methylene groups are optionally substituted by a hydroxyl, carboxyl, C<sub>1</sub>-C<sub>4</sub>-alkyl or a benzyl or phenylethyl radical, and R<sup>7</sup> has the meaning of R<sup>1</sup> in section (a), (b) and (f).

(e) is a piperidyl group which is optionally substituted in one of positions 2, 3 and 4 by a C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>3</sub>-alkoxy or hydroxyl radical, and wherein a further aromatic or cycloaliphatic ring is optionally fused onto the heterocycloaliphatic rings defined in section (c), (d) and (e) in the 2,3 or 3,4 position relative to the heteroatom.

(f) is a group of the formula



in which R<sup>8</sup> is

- (i) a C<sub>1</sub>-C<sub>6</sub>-alkyl radical or aryl radical, which radicals are unsubstituted or substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>3</sub>-alkoxy, hydroxyl, carboxyl, sulfonyl, nitro, cyano, oxo or/and halogen.
- (ii) a saturated or unsaturated, branched or unbranched C<sub>1</sub>-C<sub>6</sub>-alkoxy radical or
- (iii) a phenoxy- or benzyloxycarbonyl radical optionally substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>3</sub>-alkoxy, hydroxyl, carboxyl, sulfonyl, nitro, cyano, oxo or/and halogen.

(g) is an acyl radical of the formula -COX, wherein X is

- (i) H or an unbranched or branched alkyl radical optionally substituted by hydroxyl, carboxyl, sulfonyl, nitro, cyano, oxo or/and halogen,
- (ii) an aryl or heteroaryl radical optionally substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>3</sub>-alkoxy, hydroxyl, carboxyl, sulfonyl, nitro, cyano, oxo or/and halogen, or
- (iii) a C<sub>3</sub>-C<sub>10</sub>-cycloalkyl radical optionally substituted by hydroxyl, carboxyl, sulfonyl, nitro, cyano, oxo or/and halogen,
- (h) is a benzyl or phenylethyl radical, in which the aromatic radical is optionally substituted by a halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>3</sub>-alkoxy, hydroxy, cyano, carboxyl, sulfonyl or nitro group,
- (i) is a carboxamide residue of the formula -CONR'R", a thiocarboxamide residue -CSNR'R" or an acetamide residue -CH<sub>2</sub>-CONR'R", wherein

  - (i) R' and R" are H,
  - (ii) R' and R" are each independently C<sub>1</sub>-C<sub>4</sub>-alkyl,
  - (iii) R' is H and R" is C<sub>1</sub>-C<sub>4</sub>-alkyl,
  - (iv) R' is H and R" is aryl, or
  - (v) R' and R" form with the nitrogen atom a heterocycloaliphatic ring having 5-7 ring members, which may include a further N, O or/and S heteroatom,
- (j) is an SO<sub>2</sub>-Y radical in which Y is

- (i) C<sub>1</sub>-C<sub>8</sub>-alkyl optionally substituted by hydroxyl, carboxyl, sulfonyl, nitro, cyano, oxo or/and halogen,
- (ii) aryl or heteroaryl optionally substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>3</sub>-alkoxy, hydroxyl, carboxyl, sulfonyl, nitro, cyano, oxo or/and halogen, or
- (iii) -NR'R", where R' and R" are each independently H or C<sub>1</sub>-C<sub>3</sub>-alkyl,
- (k) is a cycloaliphatic ring having 5 to 8 C atoms, which is optionally substituted by a C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>3</sub>-alkoxy, halogen, hydroxyl or/and oxo group,
- (l) is a heteroaryl radical optionally substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>3</sub>-alkoxy, hydroxyl, carboxyl, sulfonyl, nitro, cyano, oxo or/and halogen,
- (m) is a functionalized alkyl radical of the formula -(CH<sub>2</sub>)<sub>n</sub>-X, where the alkyl chain is unbranched or branched, n is 1 to 8, and the functional radical X
  - (i) is a hydroxyl group whose H atom is optionally replaced by a C<sub>1</sub>-C<sub>4</sub>-alkyl, aralkyl, aryl, C<sub>1</sub>-C<sub>4</sub>-hydroxyalkyl or acyl group CO-alkyl,
  - (ii) is a halogen atom,
  - (iii) is a tertiary amino group of the formula -N(Alk)<sub>2</sub>, where the alkyl groups have 1 to 3 C atoms and preferably the same meaning, and the nitrogen atom optionally belongs to a heterocycloaliphatic ring having 5-7 ring members, which may include a further N, O or/and S heteroatom,

R<sup>2</sup> is a phenyl radical optionally substituted by C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>3</sub>-alkoxy, hydroxyl, carboxyl, sulfonyl, nitro, cyano, oxo or/arid halogen,

R<sup>3</sup> is H or branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl, and n is 0 or 1,

Z is N or CR<sup>9</sup>, where R<sup>9</sup> is H or branched or unbranched C<sub>1</sub>-C<sub>4</sub>-alkyl, and

wherein the active ingredient is present in a proportion by weight of 0.5-100 based on the total weight of the formulation[.] and wherein the active pharmaceutical ingredient of general formula I is encapsulated within the liposome.

29. (Currently Amended) The formulation as claimed in claim 28, characterized in that the urokinase inhibitor is ~~selected from~~ Na-(2,4,6-triisopropylphenyl sulfonyl)-3-amidino-(D,L)-phenylalanine-4-ethoxy carbonylpiperazide, the L enantiomer thereof or a pharmaceutically suitable salt thereof ~~these compounds~~.

30. (Currently Amended) The formulation as claimed in claim 28, characterized in that the urokinase inhibitor is ~~selected from~~ Na-(2,4,6-triisopropylphenyl sulfonyl)-3-guanidino-(D,L)-phenylalanine-4 ethoxycarbonylpiperazide, the L enantiomer thereof or a pharmaceutically suitable salt thereof ~~these compounds~~.

31. (Previously Presented) The formulation as claimed in claim 28, characterized in that the active ingredient is present in a proportion by weight of 2-50.

32. (Previously Presented) The formulation as claimed in claim 28, characterized in that it has a pH in the range 5.5-9.0.

33. (Previously Presented) The formulation as claimed in claim 28, characterized in that it comprises phospholipids in a proportion by weight of 4.5-400 based on the total weight of the formulation.

34. (Currently Amended) The formulation as claimed in claim 28, characterized in that it comprises ~~phospholipids~~selected phospholipids selected from neutral phospholipids, anionic phospholipids and combinations thereof.

35. (Currently Amended) The formulation as claimed in claim 28, characterized in that it comprises at least one anionic phospholipids[[s such as, for example,]] which is a phosphatidylethanolamine, phosphatidylglycerol, diphosphatidylglycerol, phosphoinositol ~~or~~ and an esterified derivative[[s]] thereof.

36. (Previously Presented) The formulation as claimed in claim 34, characterized in that it comprises phosphatidylcholine and dimyristoylphosphatidyl glycerol in a ratio of 70:30 by weight.

37. (Currently Amended) The formulation as claimed in claim 28, characterized in that it additionally comprises a membrane-stabilizing component [[such as, for example,



cholesterol,]] in a proportion by weight of up to 5% based on the total weight of the formulation.

38. (Previously Presented) The formulation as claimed in claim 28 characterized in that it additionally comprises a cryoprotectant.

39. (Previously Presented) The formulation as claimed in claim 38, characterized in that the cryoprotectant is present in a proportion by weight of up to 150, preferably 5-150, based on the total weight of the formulation.

40. (Currently Amended) The formulation as claimed in claim 38, characterized in that the cryoprotectant is ~~selected from~~ a carbohydrate[[s]] or/and sugar alcohol[[s]].

41. (Previously Presented) The formulation as claimed in claim 28, characterized in that the average diameter of liposomes is not greater than 500 nm.

42. (Previously Presented) The formulation as claimed in claim 41, characterized in that the average diameter of liposomes is 100-200 nm.

43. (Previously Presented) The formulation as claimed in claim 28, characterized in that the liposomes are unilamellar liposomes.

44. (Currently Amended) The formulation as claimed in claim 28, in a form suitable for parenteral administration.

45. (Currently Amended) The formulation as claimed in claim 44 in a form suitable for intravenous injection.

46. (Currently Amended) The formulation as claimed in claim 44 in a form suitable for infusion.

47. (Currently Amended) The formulation as claimed in claim 44 in a form suitable for subcutaneous injection.

48. (Currently Amended) The formulation as claimed in claim 44 in a form suitable for subcutaneous injection

49. (Previously Presented) The formulation as claimed in claim 28 in dehydrated form.

50. Cancelled

51. Cancelled

52. Cancelled

53. (Currently Amended) ~~The use of a~~ A formulation as claimed in claim 28 in ~~combination with cytostatic agents~~ wherein the formulation further comprises at least one cytostatic agent.

54. (New) A method of treating urokinase-associated disorders comprising administering a therapeutically effective amount of the pharmaceutical formulation of claim 28 to a subject in need of such treatment.

55. (New) A method of treating urokinase-associated tumors comprising administering a therapeutically effective amount of the pharmaceutical formulation of claim 28 to a subject in need of such treatment.

56. (New) A method of treating breast carcinomas, pancreatic carcinomas and/or metastases formation comprising administering a therapeutically effective amount of the pharmaceutical formulation of claim 28 to a subject in need of such treatment.